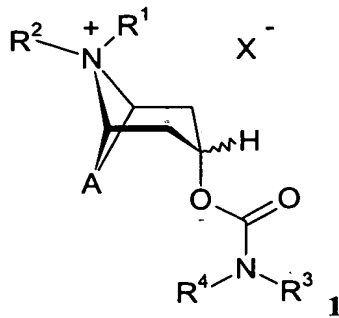
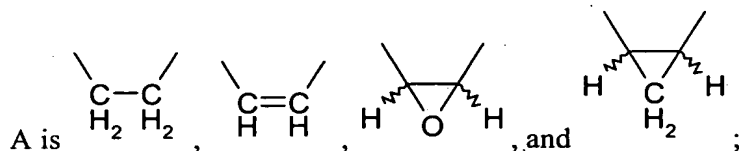


**We Claim:**

1. A compound of formula 1



wherein:



$X^-$  is an anion with a single negative charge;

$R^1$  and  $R^2$ , which are identical or different, are each  $C_1$ - $C_5$ -alkyl optionally substituted by a  $C_3$ - $C_6$ -cycloalkyl, hydroxy, or halogen, or

$R^1$  and  $R^2$  together are a  $C_3$ - $C_5$ -alkylene bridge; and

$R^3$  and  $R^4$ , which are identical or different, are each:

(a) hydrogen, or

(b)  $C_1$ - $C_5$ -alkyl optionally mono- or polysubstituted by hydroxy, halogen,  $-CF_3$ , or  $-OC_1$ - $C_4$ -alkyl, or

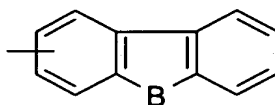
- (c) a C<sub>2</sub>-C<sub>5</sub>-alkenyl or C<sub>2</sub>-C<sub>5</sub>-alkynyl group, each optionally mono- or polysubstituted by hydroxy, halogen, -CF<sub>3</sub>, -OC<sub>1</sub>-C<sub>4</sub>-alkyl, phenyl, or phenyl mono- or polysubstituted by methyl, halogen, hydroxy, -CF<sub>3</sub>, or methoxy, or
- (d) C<sub>6</sub>-C<sub>10</sub>-aryl optionally substituted by one or more groups selected from C<sub>1</sub>-C<sub>4</sub>-alkyl, hydroxy, halogen, -CF<sub>3</sub>, -OC<sub>1</sub>-C<sub>4</sub>-alkyl, phenyl, or phenyl mono- or polysubstituted by methyl, halogen, hydroxy, -CF<sub>3</sub>, or methoxy, or
- (e) C<sub>6</sub>-C<sub>10</sub>-aryl substituted by a 5- or 6-membered heteroaryl ring optionally mono- or polysubstituted by methyl, halogen, hydroxy, -CF<sub>3</sub>, or methoxy, or
- (f) C<sub>6</sub>-C<sub>10</sub>-aryl-C<sub>1</sub>-C<sub>4</sub>-alkylene optionally substituted at the aryl group by one or more groups selected from C<sub>1</sub>-C<sub>4</sub>-alkyl, hydroxy, halogen, -CF<sub>3</sub>, -OC<sub>1</sub>-C<sub>4</sub>-alkyl, phenyl, or phenyl mono- or polysubstituted by methyl, halogen, hydroxy, -CF<sub>3</sub>, or methoxy, or
- (g) C<sub>6</sub>-C<sub>10</sub>-aryl-C<sub>1</sub>-C<sub>4</sub>-alkylene substituted at the aryl group by a 5- or 6-membered heteroaryl ring optionally mono- or polysubstituted by methyl, halogen, hydroxy, -CF<sub>3</sub>, or methoxy, or
- (h) C<sub>6</sub>-C<sub>10</sub>-aryl-C<sub>1</sub>-C<sub>4</sub>-alkylene optionally substituted at the alkylene group by one or more groups selected from C<sub>1</sub>-C<sub>4</sub>-alkyl, hydroxy, halogen, -CF<sub>3</sub>, -OC<sub>1</sub>-C<sub>4</sub>-alkyl, or phenyl, or
- (i) a 5- or 6-membered saturated or unsaturated ring having one, two, or three heteroatoms selected from nitrogen, oxygen, or sulfur, and which is optionally mono- or polysubstituted by one or more groups selected from C<sub>1</sub>-C<sub>4</sub>-alkyl hydroxy, halogen, -CF<sub>3</sub>, phenyl, benzyl, or -OC<sub>1</sub>-C<sub>4</sub>-alkyl, or
- (j) a 5- or 6-membered saturated or unsaturated ring having contain one, two, or three heteroatoms selected from nitrogen, oxygen, or sulfur and which is

substituted by a 5- or 6-membered heteroaryl ring, which is optionally mono- or polysubstituted by methyl, halogen, hydroxy,  $-\text{CF}_3$ , or methoxy, or

(k)  $\text{C}_3$ - $\text{C}_6$ -cycloalkyl optionally substituted by one or more groups selected from  $\text{C}_1$ - $\text{C}_4$ -alkyl, hydroxy, halogen,  $-\text{CF}_3$ ,  $-\text{OC}_1$ - $\text{C}_4$ -alkyl, phenyl, or phenyl mono- or polysubstituted by methyl, halogen, hydroxy,  $-\text{CF}_3$ , or methoxy, or

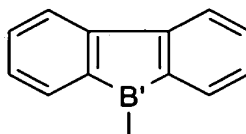
(l)  $\text{C}_3$ - $\text{C}_6$ -cycloalkyl substituted by a 5- or 6-membered heteroaryl ring optionally mono- or polysubstituted by methyl, halogen, hydroxy,  $-\text{CF}_3$ , or methoxy, or

(m) a group of formula



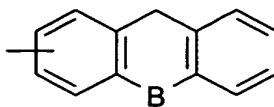
wherein B is  $-\text{CH}_2-$ ,  $-\text{NH}-$ ,  $-\text{S}-$ , or  $-\text{O}-$ , which is optionally mono- or polysubstituted by one or more groups selected from  $\text{C}_1$ - $\text{C}_4$ -alkyl, hydroxy, halogen,  $-\text{CF}_3$ , or  $-\text{OC}_1$ - $\text{C}_4$ -alkyl, or

(n) a group of formula



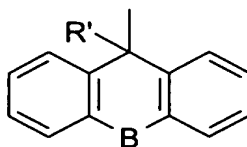
wherein B' is CH or N, which is optionally mono- or polysubstituted by one or more groups selected from  $\text{C}_1$ - $\text{C}_4$ -alkyl, hydroxy, halogen,  $-\text{CF}_3$ , or  $-\text{OC}_1$ - $\text{C}_4$ -alkyl, or

(o) a group of formula



wherein B is -CH<sub>2</sub>-, -NH-, -S-, or -O-, which is optionally mono- or polysubstituted by one or more groups selected from C<sub>1</sub>-C<sub>4</sub>-alkyl, hydroxy, halogen, -CF<sub>3</sub>, and -OC<sub>1</sub>-C<sub>4</sub>-alkyl, or

(p) a group of formula



wherein B is -CH<sub>2</sub>-, -NH-, -S-, or -O-, and

R' is hydrogen, hydroxy, methyl, hydroxymethyl, ethyl, -CF<sub>3</sub>, -CHF<sub>2</sub>, or halogen, and which is optionally mono- or polysubstituted by one or more groups selected from C<sub>1</sub>-C<sub>4</sub>-alkyl, hydroxy, halogen, -CF<sub>3</sub>, or -OC<sub>1</sub>-C<sub>4</sub>-alkyl, or

R<sup>3</sup> and R<sup>4</sup> together with the nitrogen atom form a 5- or 6-membered saturated or unsaturated heterocyclic ring having zero, one, or two more heteroatoms selected from nitrogen, oxygen, or sulfur, and which is optionally mono- or polysubstituted by one or more groups selected from C<sub>1</sub>-C<sub>4</sub>-alkyl hydroxy, halogen, -CF<sub>3</sub>, phenyl, benzyl, or -OC<sub>1</sub>-C<sub>4</sub>-alkyl, or

R<sup>3</sup> and R<sup>4</sup> together with the nitrogen atom form a 5- or 6-membered saturated or unsaturated heterocyclic ring substituted by a 5- or 6-membered heteroaryl ring optionally mono- or polysubstituted by methyl, halogen, hydroxy, -CF<sub>3</sub>, or methoxy, and

the pharmacologically acceptable acid addition salts, solvates, and hydrates thereof.

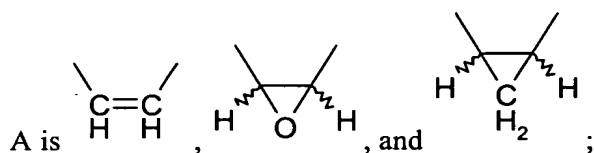
2. The compound of formula **1** according to claim 1, wherein X<sup>-</sup> is chloride, bromide, iodide, sulfate, phosphate, methanesulfonate, nitrate, maleate, acetate, citrate, fumarate,

tartrate, oxalate, succinate, benzoate, or *p*-toluenesulfonate, and the pharmacologically acceptable acid addition salts, solvates, and hydrates thereof.

3. The compound of formula **1** according to claim 2, wherein  $X^-$  is chloride, bromide, methanesulfonate, or *p*-toluenesulfonate, and the pharmacologically acceptable acid addition salts, solvates, and hydrates thereof.

4. The compound of formula **1** according to claim 3, wherein  $X^-$  is bromide or methanesulfonate, and the pharmacologically acceptable acid addition salts, solvates, and hydrates thereof.

5. The compound of formula **1** according to one of claims 1, 2, 3, or 4, wherein:



$R^1$  and  $R^2$ , which are identical or different, are each  $C_1$ - $C_3$ -alkyl optionally substituted by a  $C_3$ - $C_5$ -cycloalkyl, hydroxy, or fluorine, or

$R^1$  and  $R^2$  together are a  $C_3$ - $C_4$ -alkylene bridge; and

$R^3$  and  $R^4$ , which are identical or different, are each:

- (a) hydrogen, or
- (b)  $C_1$ - $C_5$ -alkyl optionally substituted by hydroxy, fluorine,  $-CF_3$ , and methoxy, or
- (c) a phenyl or naphthyl group, each optionally substituted by one, two, or three groups selected from methyl, ethyl, hydroxy, fluorine, chlorine, bromine,  $-CF_3$ ,

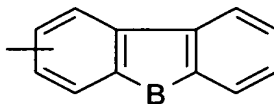
methoxy, phenyl, or phenyl mono-, di-, or trisubstituted by methyl, fluorine, chlorine, bromine, hydroxy, -CF<sub>3</sub>, or methoxy, or

- (d) a phenyl or naphthyl group, each optionally substituted by furan, thiophene, pyrrole, imidazole, pyridine, or pyrimidine, each optionally mono- or disubstituted by methyl, fluorine, chlorine, bromine, hydroxy, -CF<sub>3</sub>, or methoxy, or
- (e) a benzyl or phenylethyl group, each optionally substituted at the phenyl ring by one, two, or three groups selected from methyl, ethyl, hydroxy, fluorine, chlorine, bromine, -CF<sub>3</sub>, methoxy, phenyl, or phenyl mono-, di-, or trisubstituted by methyl, fluorine, chlorine, bromine, hydroxy, -CF<sub>3</sub>, or methoxy, or
- (f) a benzyl or phenylethyl group, each substituted at the phenyl ring by furan, thiophene, pyrrole, imidazole, pyridine, or pyrimidine, which are optionally mono- or disubstituted by methyl, fluorine, chlorine, bromine, hydroxy, -CF<sub>3</sub>, or methoxy, or
- (g) a benzyl or phenylethyl group, each optionally substituted at the alkylene bridge by one or two groups selected from methyl, ethyl, hydroxy, fluorine, chlorine, bromine, -CF<sub>3</sub>, methoxy, or phenyl, or
- (h) a 5- or 6- membered saturated or unsaturated ring having one, two, or three heteroatoms selected from nitrogen, oxygen, or sulfur, and which is optionally mono-, di-, or trisubstituted by one or more groups selected from methyl, ethyl, hydroxy, fluorine, chlorine, bromine, -CF<sub>3</sub>, phenyl, benzyl, or methoxy, or
- (i) a 5- or 6- membered saturated or unsaturated ring having one, two, or three heteroatoms selected from nitrogen, oxygen, or sulfur, and which is substituted by furan, thiophene, pyrrole, imidazole, pyridine, or pyrimidine, which is

optionally mono- or disubstituted by methyl, fluorine, chlorine, bromine, hydroxy,  $-CF_3$ , or methoxy, or

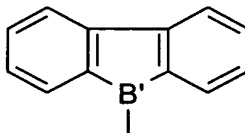
- (j) a cyclopentyl or cyclohexyl group each optionally substituted by one, two, or three groups selected from methyl, ethyl, hydroxy, fluorine, chlorine, bromine,  $-CF_3$ , methoxy, phenyl, or phenyl mono-, di-, or trisubstituted by methyl, fluorine, chlorine, bromine, hydroxy,  $-CF_3$ , or methoxy, or
- (k) a cyclopentyl or cyclohexyl group each substituted by furan, thiophene, pyrrole, imidazole, pyridine, or pyrimidine, which is optionally mono- or disubstituted by methyl, fluorine, chlorine, bromine, hydroxy,  $-CF_3$ , or methoxy, or

- (l) a group of formula



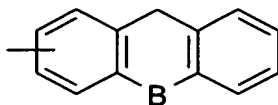
wherein B is  $-CH_2-$ ,  $-NH-$ ,  $-S-$ , or  $-O-$ , which is optionally mono-, di-, or trisubstituted by one or more groups selected from methyl, fluorine, chlorine, bromine, hydroxy,  $-CF_3$  or methoxy, or

- (m) a group of formula



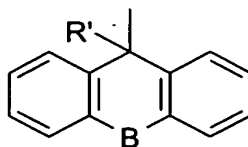
wherein B' is CH or N, which is optionally mono-, di-, or trisubstituted by one or more groups selected from methyl, fluorine, chlorine, bromine, hydroxy,  $-CF_3$ , or methoxy, or

- (n) a group of formula



wherein B is -CH<sub>2</sub>-, -NH-, -S-, or -O-, which is optionally mono-, di-, or trisubstituted by one or more groups selected from methyl, fluorine, chlorine, bromine, hydroxy, -CF<sub>3</sub>, or methoxy, or

(o) a group of formula



wherein B is -CH<sub>2</sub>-, -NH-, -S-, or -O-, and

R' is hydrogen, hydroxy, methyl, hydroxymethyl, ethyl, -CF<sub>3</sub>, -CHF<sub>2</sub>, or fluorine, and which is optionally mono-, di-, or trisubstituted by one or more groups selected from methyl, fluorine, chlorine, bromine, hydroxy, -CF<sub>3</sub>, or methoxy, or

R<sup>3</sup> and R<sup>4</sup> together with the nitrogen atom form a 5- or 6-membered saturated or unsaturated heterocyclic ring having zero, one, or two more heteroatoms selected from nitrogen, oxygen, or sulfur, and which is optionally mono-, di-, or trisubstituted by one or more groups selected from methyl, fluorine, chlorine, bromine, hydroxy, phenyl, -CF<sub>3</sub>, or methoxy, or

R<sup>3</sup> and R<sup>4</sup> together with the nitrogen atom form a 5- or 6-membered saturated or unsaturated heterocyclic ring having zero, one, or two more heteroatoms selected from nitrogen, oxygen, or sulfur, which is substituted by furan, thiophene, pyrrole, imidazole, pyridine, or pyrimidine, which is optionally mono- or disubstituted by methyl, fluorine, chlorine, bromine, hydroxy, -CF<sub>3</sub>, or methoxy,

the pharmacologically acceptable acid addition salts, solvates, and hydrates thereof.



6. The compound of formula 1 according to claim 5, wherein:

$R^1$  and  $R^2$ , which are identical or different, are each a methyl or ethyl group optionally substituted by cyclopropyl, hydroxy, or fluorine, or

$R^1$  and  $R^2$  together are a  $C_3$ - $C_4$ -alkylene bridge;

$R^3$  is hydrogen or  $C_1$ - $C_3$ -alkyl optionally substituted by hydroxy, fluorine, or  $-CF_3$ ; and

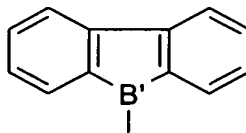
$R^4$  is  $C_1$ - $C_3$ -alkyl optionally substituted by hydroxy, fluorine, or  $-CF_3$ ,

$R^4$  is a phenyl group optionally substituted by one or two groups selected from furyl, thienyl, phenyl, or phenyl mono-, di-, or trisubstituted by methyl, fluorine, chlorine, bromine, hydroxy,  $-CF_3$ , or methoxy, or

$R^4$  is a benzyl group optionally substituted at the phenyl ring by one, two, or three groups selected from methyl, ethyl, hydroxy, fluorine, chlorine, bromine,  $-CF_3$ , methoxy, furyl, thienyl, or phenyl, or

$R^4$  is a benzyl group optionally substituted at the methylene bridge by one, two, or three groups selected from methyl, ethyl, hydroxy, fluorine, chlorine, bromine,  $-CF_3$ , methoxy, or phenyl, or

$R^4$  is a group of formula



wherein B' is CH optionally mono- or disubstituted by one or more groups selected from methyl, fluorine, chlorine, bromine, hydroxy,  $-CF_3$ , or methoxy, and

the pharmacologically acceptable acid addition salts, solvates, and hydrates thereof.

7. The compound of formula 1 according to claim 6, wherein:

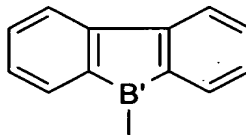
$R^4$  is  $C_1$ - $C_3$ -alkyl optionally substituted by hydroxy, fluorine, or  $-CF_3$ ;

$R^4$  is a phenyl group optionally substituted by phenyl optionally mono- or disubstituted by methyl, fluorine, hydroxy, or  $-CF_3$ , or

$R^4$  is a benzyl group optionally substituted at the phenyl ring by one or two groups selected from methyl, ethyl, hydroxy, fluorine,  $-CF_3$ , or phenyl, or

$R^4$  is a benzyl group optionally monosubstituted at the methylene bridge by phenyl, or

$R^4$  is a group of formula



wherein B' is CH optionally mono- or disubstituted by one or more groups selected from methyl, fluorine, chlorine, bromine, hydroxy,  $-CF_3$ , or methoxy,

and the pharmacologically acceptable acid addition salts, solvates, and hydrates thereof.

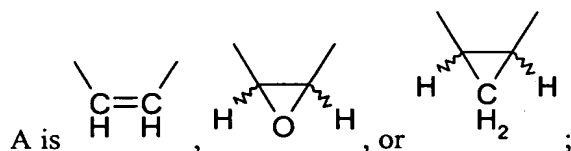
8. The compound of formula 1 according to one of claims 1 to 4, wherein  $R^1$  and  $R^2$  are each methyl, and the pharmacologically acceptable acid addition salts, solvates, and hydrates thereof.

9. The compound of formula 1 according to claim 5, wherein  $R^1$  and  $R^2$  are each methyl, and the pharmacologically acceptable acid addition salts, solvates, and hydrates thereof.

10. The compound of formula 1 according to claim 6, wherein  $R^1$  and  $R^2$  are each methyl, and the pharmacologically acceptable acid addition salts, solvates, and hydrates thereof.
11. The compound of formula 1 according to claim 7, wherein  $R^1$  and  $R^2$  are each methyl, and the pharmacologically acceptable acid addition salts, solvates, and hydrates thereof.
12. The compound of formula 1 according to one of claims 1 to 4, wherein  $R^3$  is hydrogen or methyl, and the pharmacologically acceptable acid addition salts, solvates, and hydrates thereof.
13. The compound of formula 1 according to claim 5, wherein  $R^3$  is hydrogen or methyl, and the pharmacologically acceptable acid addition salts, solvates, and hydrates thereof.
14. The compound of formula 1 according to claim 6, wherein  $R^3$  is hydrogen or methyl, and the pharmacologically acceptable acid addition salts, solvates, and hydrates thereof.
15. The compound of formula 1 according to claim 7, wherein  $R^3$  is hydrogen or methyl, and the pharmacologically acceptable acid addition salts, solvates, and hydrates thereof.
16. The compound of formula 1 according to one of claims 1 to 4, wherein  $R^4$  is biphenyl, benzhydryl, fluorenyl, or biphenylmethyl, and the pharmacologically acceptable acid addition salts, solvates, and hydrates thereof.
17. The compound of formula 1 according to claim 5, wherein  $R^4$  is biphenyl, benzhydryl, fluorenyl, or biphenylmethyl, and the pharmacologically acceptable acid addition salts, solvates, and hydrates thereof.
18. The compound of formula 1 according to claim 6, wherein  $R^4$  is biphenyl, benzhydryl, fluorenyl, or biphenylmethyl, and the pharmacologically acceptable acid addition salts, solvates, and hydrates thereof.

19. The compound of formula **1** according to claim 7, wherein R<sup>4</sup> is biphenyl, benzhydryl, fluorenyl, or biphenylmethyl, and the pharmacologically acceptable acid addition salts, solvates, and hydrates thereof.

20. The compound of formula **1** according to claim 1, wherein:



X<sup>-</sup> is bromide or methanesulfonate;

R<sup>1</sup> and R<sup>2</sup> are each methyl;

R<sup>3</sup> is hydrogen or methyl; and

R<sup>4</sup> is biphenyl, benzhydryl, fluorenyl, or biphenylmethyl, and

the pharmacologically acceptable acid addition salts, solvates, and hydrates thereof.

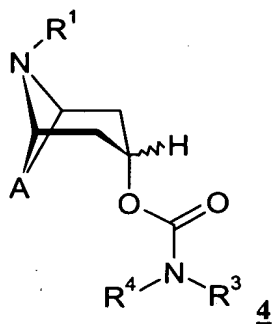
21. A pharmaceutical composition comprising an effective amount of a compound of formula **1** according to one of claims 1 to 4 or a pharmacologically acceptable acid addition salt, solvate, or hydrate thereof, and a pharmaceutically acceptable excipient or carrier.

22. The pharmaceutical composition according to claim 21, further comprising an additional active ingredient selected from the group consisting of: betamimetics, antiallergics, PAF antagonists, PDE-IV inhibitors, leukotriene antagonists, p38 kinase inhibitors, EGFR kinase inhibitors, and corticosteroids.

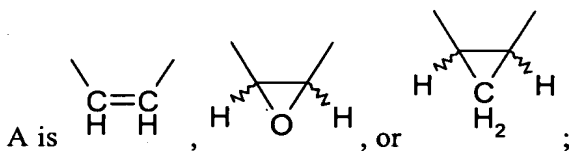
23. A method for treatment of a disease that benefits from treatment with antagonists of the M3 receptor in a patient, the method comprising administering to the patient in need thereof an effective amount of a compound of formula 1 according to claims 1 to 4 or a pharmacologically acceptable acid addition salt, solvate, or hydrate thereof.

24. A method for treatment of a disease or condition selected from asthma, COPD, vagally induced sinus bradycardia, heart rhythm disorders, spasms in the gastrointestinal tract, spasms in the urinary tract, and menstrual pain in a patient, the method comprising administering to the patient in need thereof an effective amount of a compound of formula 1 according to one of claims 1 to 4 or a pharmacologically acceptable acid addition salt, solvate, or hydrate thereof.

25. A compound of formula 4



wherein:



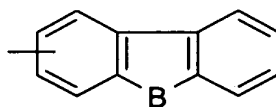
$R^1$  is  $C_1$ - $C_5$ -alkyl optionally substituted by  $C_3$ - $C_6$ -cycloalkyl or hydroxy, or

$R^1$  is  $-C_3$ - $C_5$ -alkylene-X, wherein X is chloride, bromide, iodide, sulfate, phosphate, methanesulfonate, nitrate, maleate, acetate, citrate, fumarate, tartrate, oxalate, succinate, benzoate, or *p*-toluenesulfonate; and

$R^3$  and  $R^4$ , which are identical or different, are each:

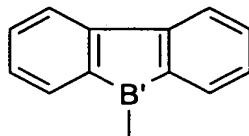
- (a) hydrogen, or
- (b)  $C_1$ - $C_5$ -alkyl optionally mono- or polysubstituted by one or more groups selected from hydroxy, halogen,  $-CF_3$ , or  $-OC_1$ - $C_4$ -alkyl, or
- (c) a  $C_2$ - $C_5$ -alkenyl or  $C_2$ - $C_5$ -alkynyl group, each optionally mono- or polysubstituted by one or more groups selected from hydroxy, halogen,  $-CF_3$ ,  $-OC_1$ - $C_4$ -alkyl, phenyl, or phenyl mono- or polysubstituted by methyl, halogen, hydroxy,  $-CF_3$ , or methoxy, or
- (d)  $C_6$ - $C_{10}$ -aryl optionally substituted by one or more groups selected from  $C_1$ - $C_4$ -alkyl, hydroxy, halogen,  $-CF_3$ ,  $-OC_1$ - $C_4$ -alkyl, phenyl, or phenyl mono- or polysubstituted by methyl, halogen, hydroxy,  $-CF_3$ , or methoxy, or
- (e)  $C_6$ - $C_{10}$ -aryl substituted by a 5- or 6-membered heteroaryl ring optionally mono- or polysubstituted by methyl, halogen, hydroxy,  $-CF_3$ , or methoxy, or
- (f)  $C_6$ - $C_{10}$ -aryl- $C_1$ - $C_4$ -alkylene optionally substituted at the aryl group by one or more groups selected from  $C_1$ - $C_4$ -alkyl, hydroxy, halogen,  $-CF_3$ ,  $-OC_1$ - $C_4$ -alkyl, phenyl, or phenyl mono- or polysubstituted by methyl, halogen, hydroxy,  $-CF_3$ , or methoxy, or
- (g)  $C_6$ - $C_{10}$ -aryl- $C_1$ - $C_4$ -alkylene substituted at the aryl group by a 5- or 6-membered heteroaryl ring optionally mono- or polysubstituted by methyl, halogen, hydroxy,  $-CF_3$ , or methoxy, or

- (h) C<sub>6</sub>-C<sub>10</sub>-aryl-C<sub>1</sub>-C<sub>4</sub>-alkylene optionally substituted at the alkylene group by one or more groups selected from C<sub>1</sub>-C<sub>4</sub>-alkyl, hydroxy, halogen, -CF<sub>3</sub>, -OC<sub>1</sub>-C<sub>4</sub>-alkyl, or phenyl, or
- (i) a 5- or 6-membered saturated or unsaturated ring having one, two, or three heteroatoms selected from nitrogen, oxygen, or sulfur, and which is optionally mono- or polysubstituted by one or more groups selected from C<sub>1</sub>-C<sub>4</sub>-alkyl, hydroxy, halogen, -CF<sub>3</sub>, phenyl, benzyl, or -OC<sub>1</sub>-C<sub>4</sub>-alkyl, or
- (j) a 5- or 6-membered saturated or unsaturated ring having one, two, or three heteroatoms selected from nitrogen, oxygen, or sulfur, and which is substituted by a 5- or 6-membered heteroaryl ring, which is optionally mono- or polysubstituted by methyl, halogen, hydroxy, -CF<sub>3</sub>, or methoxy, or
- (k) C<sub>3</sub>-C<sub>6</sub>-cycloalkyl optionally substituted by one or more groups selected from C<sub>1</sub>-C<sub>4</sub>-alkyl, hydroxy, halogen, -CF<sub>3</sub>, -OC<sub>1</sub>-C<sub>4</sub>-alkyl, phenyl, or phenyl mono- or polysubstituted by methyl, halogen, hydroxy, -CF<sub>3</sub>, or methoxy, or
- (l) C<sub>3</sub>-C<sub>6</sub>-cycloalkyl substituted by a 5- or 6-membered heteroaryl ring optionally mono- or polysubstituted by methyl, halogen, hydroxy, -CF<sub>3</sub>, or methoxy, or
- (m) a group of formula



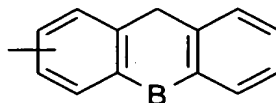
wherein B is -CH<sub>2</sub>-, -NH-, -S-, or -O-, which is optionally mono- or polysubstituted by one or more groups selected from C<sub>1</sub>-C<sub>4</sub>-alkyl, hydroxy, halogen, -CF<sub>3</sub>, or -OC<sub>1</sub>-C<sub>4</sub>-alkyl, or

- (n) a group of formula



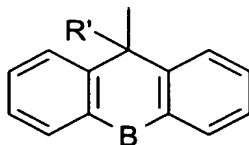
wherein B' is CH or N, which is optionally mono- or polysubstituted by one or more groups selected from C<sub>1</sub>-C<sub>4</sub>-alkyl, hydroxy, halogen, -CF<sub>3</sub>, or -OC<sub>1</sub>-C<sub>4</sub>-alkyl, or

(o) a group of formula



wherein B is -CH<sub>2</sub>-, -NH-, -S-, or -O-, which is optionally mono- or polysubstituted by one or more groups selected from C<sub>1</sub>-C<sub>4</sub>-alkyl, hydroxy, halogen, -CF<sub>3</sub>, or -OC<sub>1</sub>-C<sub>4</sub>-alkyl, or

(p) a group of formula



wherein B is -CH<sub>2</sub>-, -NH-, -S-, or -O-, and

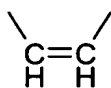
R' is hydrogen, hydroxy, methyl, hydroxymethyl, ethyl, -CF<sub>3</sub>, -CHF<sub>2</sub>, or halogen, and which is optionally mono- or polysubstituted by one or more groups selected from C<sub>1</sub>-C<sub>4</sub>-alkyl, hydroxy, halogen, -CF<sub>3</sub>, or -OC<sub>1</sub>-C<sub>4</sub>-alkyl, or

R<sup>3</sup> and R<sup>4</sup> together with the nitrogen atom form a 5- or 6-membered saturated or unsaturated heterocyclic ring having zero, one, or two more heteroatoms selected from nitrogen, oxygen, or sulfur, and which is optionally mono- or polysubstituted by one or more groups selected from C<sub>1</sub>-C<sub>4</sub>-alkyl, hydroxy, halogen, -CF<sub>3</sub>, phenyl, benzyl, or -OC<sub>1</sub>-C<sub>4</sub>-alkyl, or

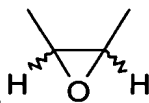


$R^3$  and  $R^4$  together with the nitrogen atom form a 5- or 6-membered saturated or unsaturated heterocyclic ring substituted by a 5- or 6-membered heteroaryl ring, which is optionally mono- or polysubstituted by methyl, halogen, hydroxy,  $-CF_3$ , or methoxy, and

the acid addition salts, solvates, and hydrates thereof,



with the proviso that if A is  $\text{H}-\text{C}(\text{R}^1)=\text{C}(\text{R}^3)-\text{H}$ ,  $R^1$  is methyl, and  $R^3$  is hydrogen,  $R^4$  cannot be phenyl, pentafluorophenyl, 2-chloro-4-trifluoromethylphenyl, 3-chloro-4-methoxyphenyl, or cyclopentyl; and



with the proviso that if A is  $\text{H}-\text{C}(\text{R}^1)-\text{O}-\text{C}(\text{R}^3)-\text{H}$ ,  $R^1$  is methyl, and  $R^3$  is hydrogen,  $R^4$  cannot be phenyl.

26. The compound of formula 4 according to claim 25, wherein:

$R^1$  is  $C_1$ - $C_3$ -alkyl optionally substituted by  $C_3$ - $C_5$ -cycloalkyl, hydroxy, or fluorine, or

$R^1$  is  $C_3$ - $C_4$ -alkylene-X, wherein X is chloride, bromide, methanesulfonate, or *p*-toluenesulfonate;

$R^3$  and  $R^4$ , which are identical or different, are each:

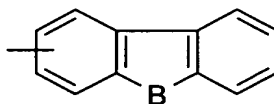
- (a) hydrogen, or
- (b)  $C_1$ - $C_5$ -alkyl optionally substituted by hydroxy, fluorine,  $-CF_3$ , or methoxy, or
- (c) a phenyl or naphthyl group optionally substituted by one, two, or three groups selected from methyl, ethyl, hydroxy, fluorine, chlorine, bromine,  $-CF_3$ , methoxy, phenyl, or phenyl mono-, di-, or trisubstituted by methyl, fluorine, chlorine, bromine, hydroxy,  $-CF_3$ , or methoxy, or

- (d) a phenyl or naphthyl group, each substituted by furan, thiophene, pyrrole, imidazole, pyridine, or pyrimidine, which is optionally mono- or disubstituted by methyl, fluorine, chlorine, bromine, hydroxy, -CF<sub>3</sub>, or methoxy, or
- (e) a benzyl or phenylethyl group, each optionally substituted at the phenyl ring by one, two, or three groups selected from methyl, ethyl, hydroxy, fluorine, chlorine, bromine, -CF<sub>3</sub>, methoxy, phenyl, or phenyl mono-, di-, or trisubstituted by methyl, fluorine, chlorine, bromine, hydroxy, -CF<sub>3</sub>, or methoxy, or
- (f) a benzyl or phenylethyl group, each substituted at the phenyl ring by furan, thiophene, pyrrole, imidazole, pyridine, or pyrimidine, which is optionally mono- or disubstituted by methyl, fluorine, chlorine, bromine, hydroxy, -CF<sub>3</sub>, or methoxy, or
- (g) a benzyl or phenylethyl group, each optionally substituted at the alkylene bridge by one or two groups selected from methyl, ethyl, hydroxy, fluorine, chlorine, bromine, -CF<sub>3</sub>, methoxy, or phenyl, or
- (h) a 5- or 6- membered saturated or unsaturated ring having one, two, or three heteroatoms selected from nitrogen, oxygen, or sulfur, and which is optionally mono-, di-, or trisubstituted by one or more groups selected from methyl, ethyl, hydroxy, fluorine, chlorine, bromine, -CF<sub>3</sub>, phenyl, benzyl, and methoxy, or
- (i) a 5- or 6-membered saturated or unsaturated ring having one, two, or three heteroatoms selected from nitrogen, oxygen, or sulfur, and which is substituted by furan, thiophene, pyrrole, imidazole, pyridine, or pyrimidine, which is optionally mono- or disubstituted by methyl, fluorine, chlorine, bromine, hydroxy, -CF<sub>3</sub>, or methoxy, or

(j) a cyclopentyl or cyclohexyl group, each optionally substituted by one, two, or three groups selected from methyl, ethyl, hydroxy, fluorine, chlorine, bromine,  $-CF_3$ , methoxy, phenyl, or phenyl mono-, di-, or trisubstituted by methyl, fluorine, chlorine, bromine, hydroxy,  $-CF_3$ , or methoxy, or

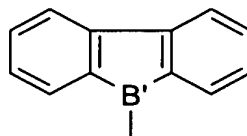
(k) a cyclopentyl or cyclohexyl group, each substituted by furan, thiophene, pyrrole, imidazole, pyridine, or pyrimidine, which is optionally mono- or disubstituted by methyl, fluorine, chlorine, bromine, hydroxy,  $-CF_3$ , or methoxy, or

(l) a group of formula



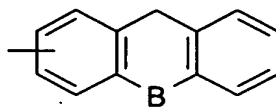
wherein B is  $-CH_2-$ ,  $-NH-$ ,  $-S-$ , or  $-O-$ , which is optionally mono-, di-, or trisubstituted by one or more groups selected from methyl, fluorine, chlorine, bromine, hydroxy,  $-CF_3$ , or methoxy, or

(m) a group of formula



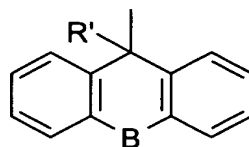
wherein B' is CH or N, which is optionally mono-, di-, or trisubstituted by one or more groups selected from methyl, fluorine, chlorine, bromine, hydroxy,  $-CF_3$ , or methoxy, or

(n) a group of formula



wherein B is -CH<sub>2</sub>-, -NH-, -S-, or -O-, which is optionally mono-, di-, or trisubstituted by one or more groups selected from methyl, fluorine, chlorine, bromine, hydroxy, -CF<sub>3</sub>, or methoxy, or

(o) a group of formula



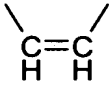
wherein B is -CH<sub>2</sub>-, -NH-, -S-, or -O-, and

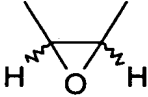
R' is hydrogen, hydroxy, methyl, hydroxymethyl, ethyl, -CF<sub>3</sub>, -CHF<sub>2</sub>, or fluorine, and which is optionally mono-, di-, or trisubstituted by one or more groups selected from methyl, fluorine, chlorine, bromine, hydroxy, -CF<sub>3</sub>, and methoxy, or

R<sup>3</sup> and R<sup>4</sup> together with the nitrogen atom form a 5- or 6-membered saturated or unsaturated heterocyclic ring having zero, one, or two more heteroatoms selected from nitrogen, oxygen, or sulfur, and which is optionally mono-, di-, or trisubstituted by methyl, fluorine, chlorine, bromine, hydroxy, phenyl, -CF<sub>3</sub>, or methoxy, or

R<sup>3</sup> and R<sup>4</sup> together with the nitrogen atom form a 5- or 6-membered saturated or unsaturated heterocyclic ring having zero, one, or two more heteroatoms selected from nitrogen, oxygen, or sulfur, which is substituted by furan, thiophene, pyrrole, imidazole, pyridine, or pyrimidine, which is optionally mono- or disubstituted by methyl, fluorine, chlorine, bromine, hydroxy, -CF<sub>3</sub>, or methoxy, and

the acid addition salts, solvates, and hydrates thereof,

with the proviso that if A is , R<sup>1</sup> is methyl, and R<sup>3</sup> is hydrogen, R<sup>4</sup> cannot be phenyl, 2-chloro-4-trifluoromethylphenyl, 3-chloro-4-methoxyphenyl, or cyclopentyl; and

with the proviso that if A is , R<sup>1</sup> is methyl, and R<sup>3</sup> is hydrogen, R<sup>4</sup> cannot be phenyl.

27. The compound of formula 4 according to claim 26, wherein:

R<sup>1</sup> is a methyl or ethyl group, each optionally substituted by cyclopropyl, hydroxy, or fluorine, or

R<sup>1</sup> is C<sub>3</sub>-C<sub>4</sub>-alkylene-X, wherein X is chloride, bromide, methanesulfonate, or *p*-toluenesulfonate;

R<sup>3</sup> is hydrogen or C<sub>1</sub>-C<sub>3</sub>-alkyl optionally substituted by hydroxy, fluorine, or -CF<sub>3</sub>;

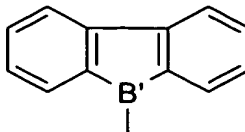
R<sup>4</sup> is C<sub>1</sub>-C<sub>3</sub>-alkyl optionally substituted by hydroxy, fluorine, or -CF<sub>3</sub>, or

R<sup>4</sup> is a phenyl group optionally substituted by one or two groups selected from furyl, thienyl, phenyl, or phenyl mono-, di-, or trisubstituted by methyl, fluorine, chlorine, bromine, hydroxy, -CF<sub>3</sub>, or methoxy, or

R<sup>4</sup> is a benzyl group optionally substituted at the phenyl ring by one, two, or three groups selected from methyl, ethyl, hydroxy, fluorine, chlorine, bromine, -CF<sub>3</sub>, methoxy, furyl, thienyl, or phenyl, or

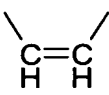
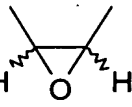
R<sup>4</sup> is a benzyl group optionally substituted at the methylene bridge by one, two, or three groups selected from methyl, ethyl, hydroxy, fluorine, chlorine, bromine, -CF<sub>3</sub>, methoxy, or phenyl, or

R<sup>4</sup> is a group of formula



wherein B' is CH optionally mono- or disubstituted by one or more groups selected from methyl, fluorine, chlorine, bromine, hydroxy, -CF<sub>3</sub>, or methoxy, and

the acid addition salts, solvates, and hydrates thereof,

with the proviso that if A is  or , R<sup>1</sup> is methyl, and R<sup>3</sup> is hydrogen, R<sup>4</sup> cannot be phenyl.

28. The compound of formula 4 according to claim 27, wherein:

R<sup>1</sup> is a methyl or ethyl group, each optionally substituted by cyclopropyl, hydroxy, or fluorine, or

R<sup>1</sup> is C<sub>3</sub>-C<sub>4</sub>-alkylene-X, where X is chloride, bromide, methanesulfonate, and *p*-toluenesulfonate;

R<sup>3</sup> is hydrogen or C<sub>1</sub>-C<sub>3</sub>-alkyl optionally substituted by hydroxy, fluorine, or -CF<sub>3</sub>;

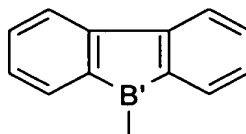
R<sup>4</sup> is C<sub>1</sub>-C<sub>3</sub>-alkyl optionally substituted by hydroxy, fluorine, or -CF<sub>3</sub>, or

R<sup>4</sup> is a phenyl group optionally substituted by phenyl optionally mono- or disubstituted by methyl, fluorine, hydroxy, or -CF<sub>3</sub>, or

R<sup>4</sup> is a benzyl group optionally substituted at the phenyl ring by one or two groups selected from methyl, ethyl, hydroxy, fluorine, -CF<sub>3</sub>, or phenyl, or

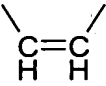
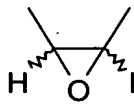
R<sup>4</sup> is a benzyl group optionally monosubstituted by phenyl at the methylene bridge, or

R<sup>4</sup> is a group of formula



wherein B' is CH optionally mono- or disubstituted by one or more groups selected from methyl, fluorine, chlorine, bromine, hydroxy, -CF<sub>3</sub>, or methoxy, and

the acid addition salts, solvates, and hydrates thereof,

with the proviso that if A is  or , R<sup>1</sup> is methyl, and R<sup>3</sup> is hydrogen, R<sup>4</sup> cannot be phenyl.

The text specifies that if A is propene (represented by a skeletal structure of a three-carbon chain with a double bond between the first and second carbons, and hydrogens explicitly shown on the double-bonded carbons) or propylene oxide (represented by a three-membered ring with an oxygen atom and two methyl groups), then R<sup>1</sup> is methyl, R<sup>3</sup> is hydrogen, and R<sup>4</sup> cannot be phenyl.

29. The compound of formula 4 according to claim 28, wherein:

R<sup>1</sup> is methyl;

R<sup>3</sup> is hydrogen or methyl; and

R<sup>4</sup> is biphenyl, benzhydryl, fluorenyl, or biphenylmethyl, and

the acid addition salts, solvates, and hydrates thereof.